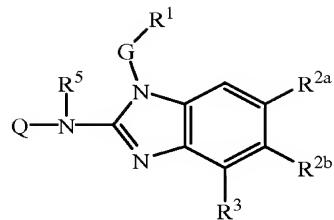


-82-

Claims

1. A compound having the formula

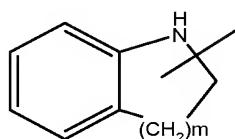


a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochemically
5 isomeric form thereof wherein

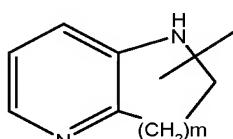
Q is Ar², R^{6a}, pyrrolidinyl substituted with R⁶, piperidinyl substituted with R⁶ or
homopiperidinyl substituted with R⁶;

G is a direct bond or C₁₋₁₀alkanediyl optionally substituted with one or more
10 substituents individually selected from the group consisting of hydroxy, C₁₋₆alkyl-
oxy, Ar¹C₁₋₆alkyloxy, C₁₋₆alkylthio, Ar¹C₁₋₆alkylthio, HO(-CH₂-CH₂-O)_n-,
C₁₋₆alkyloxy(-CH₂-CH₂-O)_n- and Ar¹C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-;

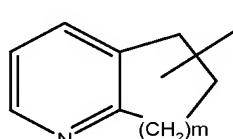
R¹ is Ar¹ or a monocyclic or bicyclic heterocycle being selected from piperidinyl,
piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, furanyl, tetrahydro-
furanyl, thienyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, pyrazolyl,
15 isoxazolyl, oxadiazolyl, quinolinyl, quinoxalinyl, benzofuranyl, benzothienyl,
benzimidazolyl, benzoxazolyl, benzthiazolyl, pyridopyridyl, naphthiridinyl,
1H-imidazo[4,5-b]pyridinyl, 3H-imidazo[4,5-b]pyridinyl, imidazo[1,2-a]-
pyridinyl, 2,3-dihydro-1,4-dioxino[2,3-b]pyridyl or a radical of formula



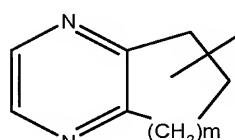
(c-1)



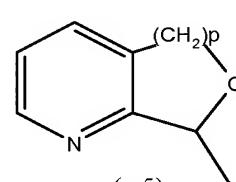
(c-2)



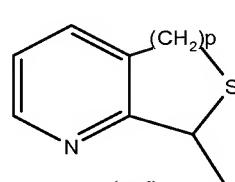
(c-3)



(c-4)



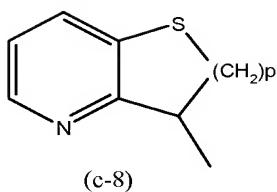
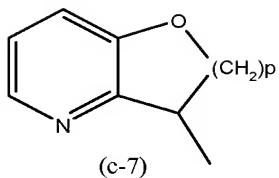
(c-5)



(c-6)

20

-83-



;

wherein each of said monocyclic or bicyclic heterocycles may optionally be substituted with 1 or where possible more, such as 2, 3, 4 or 5, substituents individually selected from the group of substituents consisting of halo, hydroxy, amino, cyano,

5 carboxyl, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, Ar¹, Ar¹C₁₋₆alkyl, Ar¹C₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)amino, mono-or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkyl-SO₂-NR^{4a-}, Ar¹-SO₂-NR^{4a-}, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{4a}R^{4b}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-,

10 Ar¹C₁₋₆alkyloxy(-CH₂-CH₂-O)_n- and mono-and di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-; one of R^{2a} and R^{2b} is cyanoC₁₋₆alkyl, cyanoC₂₋₆alkenyl, Ar³C₁₋₆alkyl,

(Ar³)(OH)C₁₋₆alkyl, Het-C₁₋₆alkyl, N(R^{8a}R^{8b})C₁₋₆alkyl, Ar³C₂₋₆alkenyl,

Het-C₂₋₆alkenyl, Ar³aminoC₁₋₆alkyl, Het-aminoC₁₋₆alkyl, Het-C₁₋₆alkylamino-C₁₋₆alkyl, Ar³thioC₁₋₆alkyl, Het-thioC₁₋₆alkyl, Ar³sulfonylC₁₋₆alkyl, Het-sulfonyl-

15 C₁₋₆alkyl, Ar³aminocarbonyl, Het-aminocarbonyl, Ar³(CH₂)_naminocarbonyl, Het-(CH₂)_naminocarbonyl, Ar³carbonylamino, Het-carbonylamino,

20 Het-(CH₂)_naminocarbonyl, Ar³carbonylamino, Het-carbonylamino, Ar³(CH₂)_namino; and the other one of R^{2a} and R^{2b} is hydrogen;

in case R^{2a} is hydrogen, then R³ is hydrogen;

25 in case R^{2b} is hydrogen, then R³ is hydrogen or C₁₋₆alkyl;

R^{4a} and R^{4b} can be the same or can be different relative to one another, and are each independently hydrogen or C₁₋₆alkyl; or

30 R^{4a} and R^{4b} taken together may form a bivalent radical of formula -(CH₂)_s- wherein s is 4 or 5;

R⁵ is hydrogen or C₁₋₆alkyl;

R⁶ is hydrogen or C₁₋₆alkyl optionally substituted with one or more substituents each independently selected from the group consisting of trifluoromethyl, NR^{7a}R^{7b},

C₃₋₇cycloalkyl, Ar², hydroxy, C₁₋₄alkoxy, C₁₋₄alkylthio, Ar²-oxy-, Ar²-thio-,

Ar²(CH₂)_noxy, Ar²(CH₂)_nthio, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkyl-

35 carbonyl, Ar²carbonyl, C₁₋₄alkoxycarbonyl, Ar²(CH₂)_ncarbonyl, amino-

carbonyloxy, C₁₋₄alkylcarbonyloxy, Ar²carbonyloxy, Ar²(CH₂)_ncarbonyloxy,

C₁₋₄alkoxycarbonyl(CH₂)_noxy, mono- or di(C₁₋₄alkyl)aminocarbonyl, mono- or

di(C₁₋₄alkyl)aminocarbonyloxy, aminosulfonyl, mono- or di(C₁₋₄alkyl)amino-

40 sulfonyl or a heterocycle selected from the group consisting of pyrrolidinyl,

-84-

pyrrolyl, dihydropyrrolyl, imidazolyl, triazolyl, piperidinyl, homopiperidinyl, piperazinyl, pyridyl and tetrahydropyridyl, wherein each of said heterocycle may optionally be substituted with oxo or C₁₋₆alkyl;

R^{6a} is C₁₋₆alkyl substituted with one or more substituents each independently selected from the group consisting of trifluoromethyl, NR^{7a}R^{7b}, C₃₋₇cycloalkyl, Ar², hydroxy, C₁₋₄alkoxy, C₁₋₄alkylthio, Ar²-oxy-, Ar²-thio-, Ar²(CH₂)_noxy, Ar²(CH₂)_nthio, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkylcarbonyl, Ar²carbonyl, C₁₋₄alkoxycarbonyl, Ar²(CH₂)_ncarbonyl, aminocarbonyloxy, C₁₋₄alkylcarbonyloxy, Ar²carbonyloxy, Ar²(CH₂)_ncarbonyloxy, C₁₋₄alkoxycarbonyl(CH₂)_noxy, mono- or di(C₁₋₄alkyl)aminocarbonyl, mono- or di(C₁₋₄alkyl)aminocarbonyloxy, aminosulfonyl, mono- or di(C₁₋₄alkyl)aminosulfonyl or a heterocycle selected from the group consisting of pyrrolidinyl, pyrrolyl, dihydropyrrolyl, imidazolyl, triazolyl, piperidinyl, homopiperidinyl, piperazinyl, pyridyl and tetrahydro-pyridyl, wherein each of said heterocycle may optionally be substituted with oxo or C₁₋₆alkyl;

R^{7a} is hydrogen, C₁₋₆alkyl, formyl or C₁₋₆alkylcarbonyl;

R^{7b} is hydrogen, C₁₋₆alkyl, formyl or C₁₋₆alkylcarbonyl;

R^{8a} is Ar³, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, cyanoC₁₋₆alkyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl, aminocarbonyl-C₁₋₆alkyl, carboxyl-C₁₋₆alkyl;

R^{8b} is Ar³, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, cyanoC₁₋₆alkyl, aminoC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl; each n independently is 1, 2, 3 or 4;

each m independently is 1 or 2;

each p independently is 1 or 2;

Ar¹ is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents selected from halo, hydroxy, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, and C₁₋₆alkyloxy;

Ar² is phenyl or phenyl substituted with 1 or more, such as 2, 3 or 4, substituents

selected from the group consisting of halo, hydroxy, amino, cyano, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, aminoC₁₋₆alkyl, C₁₋₆alkyloxy, amino-sulfonyl, aminocarbonyl, hydroxycarbonyl, C₁₋₄alkylcarbonyl, mono- or di(C₁₋₄alkyl)amino, mono- or di(C₁₋₄alkyl)aminocarbonyl, mono- or di(C₁₋₄alkyl)aminosulfonyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₆alkyl and C₁₋₄alkoxycarbonyl;

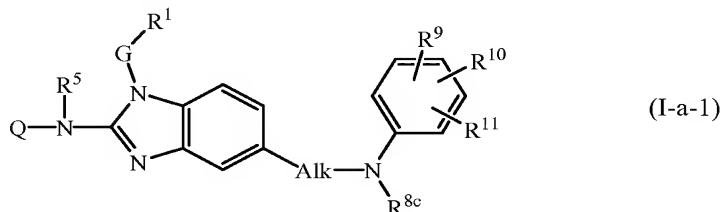
Ar³ is phenyl, naphthalenyl, 1,2,3,4-tetrahydro-naphthalenyl or indanyl, wherein said phenyl, naphtyl, 1,2,3,4-tetrahydro-naphthalenyl or indanyl may optionally and

-85-

each individually be substituted with one or more, such as 2, 3 or 4, substituents selected from the group consisting of halo, hydroxy, mercapto, amino, cyano, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, Ar¹, hydroxyC₁₋₆alkyl, polyhaloC₁₋₆alkyl, aminoC₁₋₆alkyl, cyanoC₁₋₆alkyl, aminocarbonyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, Ar¹-oxy, Ar¹-thio, Ar¹-amino, aminosulfonyl, aminocarbonyl-C₁₋₆alkyl, hydroxycarbonyl-C₁₋₆alkyl, hydroxycarbonyl, C₁₋₄alkylcarbonyl, mono- or di(C₁₋₄alkyl)amino, mono- or di(C₁₋₄alkyl)aminocarbonyl, mono- or di(C₁₋₄alkyl)aminosulfonyl, mono- or di(C₁₋₄alkyl)aminoC₁₋₆alkyl, C₁₋₄alkylcarbonylamino and C₁₋₄alkoxycarbonyl;

10 Het is a heterocycle being selected from tetrahydrofuranyl, tetrahydrothienyl, dioxanyl, dioxolanyl, pyrrolidinyl, pyrrolidinonyl, furanyl, thienyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, isothiazolyl, pyrazolyl, isoxazolyl, oxadiazolyl, thiadiazolyl, piperidinyl, homopiperidinyl, piperazinyl, morpholinyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, tetrahydroquinolinyl, quinolinyl, isoquinolinyl, benzodioxanyl, benzodioxolyl, indoliny, indolyl, each of said heterocycle may 15 optionally be substituted with oxo, amino, Ar¹, C₁₋₄alkyl, aminoC₁₋₄alkyl, hydroxyC₁₋₆alkyl, Ar¹C₁₋₄alkyl, mono- or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, mono- or di(C₁₋₆alkyl)amino, or with two C₁₋₄alkyl radicals.

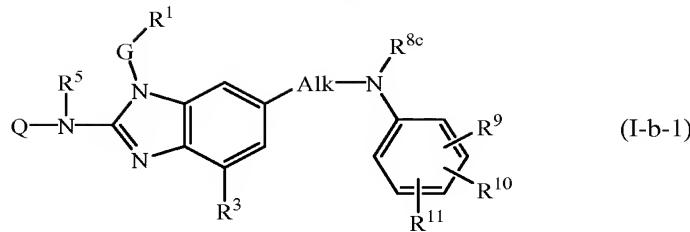
20 2. A compound according to claim 1 wherein the compound has the formula (I-a-1):



wherein Q, R⁵, G and R¹ are as claimed in claim 1; and
Alk is C₁₋₆alkanediyl;
R^{8c} has the same meanings of R^{8a}, as claimed in claim 1, and also may be
hydrogen;
R⁹, R¹⁰, R¹¹ independently from one another have the same meanings as the
substituents on Ar³ as claimed in claim 1.

25 3. A compound according to claim 1 wherein the compound has the formula (I-b-1):

-86-



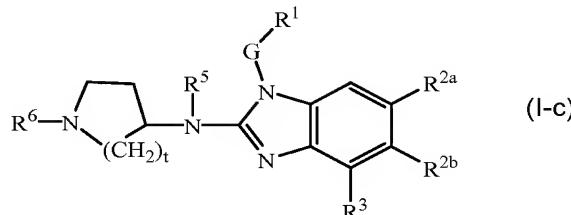
wherein Q, R⁵, G and R¹ are as claimed in claim 1; and

Alk is C₁₋₆alkanediyl;

R^{8c} has the same meanings of R^{8a}, as claimed in claim 1, and also may be hydrogen;

5 R⁹, R¹⁰, R¹¹ independently from one another have the same meanings as the substituents on Ar³ as claimed in claim 1.

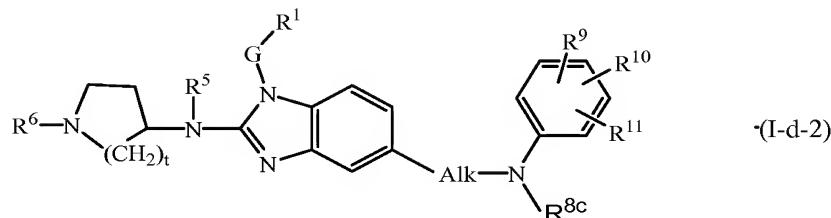
4. A compound according to claim 1 wherein the compound has the formula (I-c):



10

wherein t, G, R¹, R^{2a}, R^{2b}, R³, R⁵ and R⁶ are as claimed in claim 1.

5. A compound according to claim 1 wherein the compound has the formula (I-d-2):



15

wherein t, R⁵, R⁶, G and R¹ are as claimed in claim 1; and

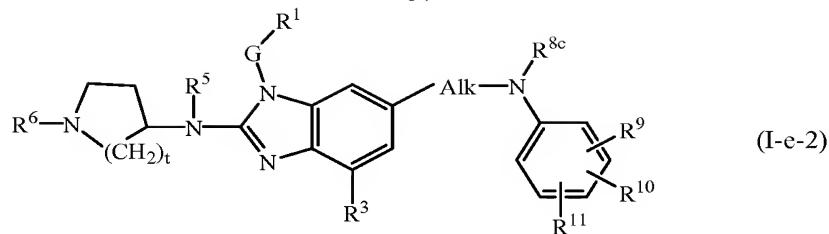
Alk is C₁₋₆alkanediyl;

R^{8c} has the same meanings of R^{8a}, as claimed in claim 1, and also may be hydrogen;

20 R⁹, R¹⁰, R¹¹ independently from one another have the same meanings as the substituents on Ar³ as claimed in claim 1.

6. A compound according to claim 1 wherein the compound has the formula (I-e-2):

-87-



wherein t, R⁵, R⁶, G and R¹ are as claimed in claim 1; and

Alk is C₁₋₆alkanediyl;

R^{8c} has the same meanings of R^{8a} , as claimed in claim 1, and also may be

5 hydrogen;

R^9 , R^{10} , R^{11} independently from one another have the same meanings as the substituents on Ar^3 as claimed in claim 1.

10 7. A compound according to any of claims 4 to 6 wherein t is 2.

8. A compound according to any of claims 1 - 7, wherein G is C₁₋₁₀alkanediyl.

9. A compound according to in any of claims 1 - 7, wherein G is methylene.

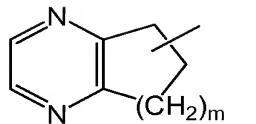
15 10. A compound according to any of claims 1 - 9, wherein R¹ is pyridyl optionally substituted with 1 or 2 substituents independently selected from the group consisting of halo, hydroxy, amino, cyano, carboxyl, C₁₋₆alkyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, C₁₋₆alkyloxyC₁₋₆alkyl, Ar¹, Ar¹C₁₋₆alkyl, Ar¹C₁₋₆alkyloxy, hydroxyC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)amino, mono-or di(C₁₋₆alkyl)amino-C₁₋₆alkyl, polyhaloC₁₋₆alkyl, C₁₋₆alkylcarbonylamino, C₁₋₆alkyl-SO₂-NR^{4a}-, Ar¹-SO₂-NR^{4a}-, C₁₋₆alkyloxycarbonyl, -C(=O)-NR^{4a}R^{4b}, HO(-CH₂-CH₂-O)_n-, halo(-CH₂-CH₂-O)_n-, C₁₋₆alkyloxy(-CH₂-CH₂-O)_n-, Ar¹C₁₋₆alkyloxy(-CH₂-CH₂-O)_n- and mono-or di(C₁₋₆alkyl)amino(-CH₂-CH₂-O)_n-.

20 11. A compound according to any of claims 1 - 9, wherein R¹ is pyridyl substituted with 1 or 2 substituents independently selected from the group consisting of hydroxy and C₁₋₆alkyl.

25 12. A compound according to any of claims 1 - 9, wherein R¹ is Ar¹, quinolinyl, benzimidazolyl, a radical of formula

30

-88-



(c-4)

or pyrazinyl; wherein each of the radicals Ar¹, quinolinyl, benzimidazolyl, (c-4), or pyrazinyl may optionally be substituted with the substitutents of said radicals as claimed in claim1.

5

13. A compound according to any of claims 1 - 9, wherein R¹ is phenyl optionally substituted with one, two or three radicals selected from the group consisting of halo, hydroxy, C₁₋₆alkyl, C₁₋₆alkyloxy; quinolinyl; a radical (c-4) wherein m is 2, optionally substituted with up to two radicals selected from C₁₋₆alkyl;

10 benzimidazolyl optionally substituted with C₁₋₆alkyl; pyrazinyl optionally substituted with up to three radicals selected from C₁₋₆alkyl.

14. A compound according to any of claims 1 - 13, wherein one of R^{2a} and R^{3a} is selected from cyanoC₁₋₆alkyl, cyanoC₂₋₆alkenyl, Ar³C₁₋₆alkyl,

15 (Ar³)(OH)C₁₋₆alkyl, Het-C₁₋₆alkyl, N(R^{8a}R^{8b})C₁₋₆alkyl, Ar³C₂₋₆alkenyl, Het-C₂₋₆alkenyl, Ar³aminoC₁₋₆alkyl, Het-aminoC₁₋₆alkyl, Het-C₁₋₆alkylaminoC₁₋₆alkyl, Ar³thioC₁₋₆alkyl, Het-thioC₁₋₆alkyl, Ar³sulfonylC₁₋₆alkyl, Het-sulfonylC₁₋₆alkyl, Ar³aminocarbonyl, Het-aminocarbonyl, Ar³(CH₂)_naminocarbonyl, Het-(CH₂)_naminocarbonyl, Ar³carbonylamino, Ar³(CH₂)_namino; and the other one of R^{2a} and R^{2b} is hydrogen.

20 15. A compound according to any of claims 1 - 13, wherein one of R^{2a} and R^{3a} is selected from cyanoC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl, N(R^{8a}R^{8b})C₁₋₆alkyl, Ar³C₂₋₆alkenyl, Ar³aminoC₁₋₆alkyl, Het-aminoC₁₋₆alkyl, Het-C₁₋₆alkylamino-

25 C₁₋₆alkyl, Ar³thioC₁₋₆alkyl, Ar³aminocarbonyl, Het-aminocarbonyl, Ar³(CH₂)_naminocarbonyl, Het-(CH₂)_naminocarbonyl; and the other one of R^{2a} and R^{2b} is hydrogen.

30 16. A compound according to any of claims 1 - 13, wherein one of R^{2a} and R^{3a} is selected from N(R^{8a}R^{8b})C₁₋₆alkyl, Ar³aminoC₁₋₆alkyl; and the other one of R^{2a} and R^{2b} is hydrogen.

35 17. A compound according to any of claims 14 - 16, wherein in case R^{2a} is hydrogen then R³ is hydrogen;

in case R^{2b} is hydrogen then R³ is hydrogen or C₁₋₆alkyl.

-89-

18. A compound according to any of claims 1 - 17, wherein R⁵ is hydrogen.
19. A compound according to any of claims 1 - 18, wherein Q is R^{6a}, wherein R^{6a} is C₁₋₆alkyl substituted with one or with two substituents each independently selected from the group consisting of trifluoromethyl, NR^{7a}R^{7b}, Ar², hydroxy, C₁₋₄alkoxy, Ar²(CH₂)_noxy, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkylcarbonyl, C₁₋₄alkoxycarbonyl, Ar²(CH₂)_ncarbonyl, aminocarbonyloxy, C₁₋₄alkylcarbonyloxy, Ar²carbonyloxy, mono- or di(C₁₋₄alkyl)aminocarbonyl, aminosulfonyl, mono- or di(C₁₋₄alkyl)aminosulfonyl or a heterocycle selected from the group consisting of pyrrolidinyl, imidazolyl, piperidinyl, homopiperidinyl, piperazinyl, dioxolanyl, dioxanyl and pyridyl, wherein each of said heterocycle may optionally be substituted with with one or two radicals selected from oxo and C₁₋₆alkyl;
- 15 20. A compound according to any of claims 1 - 18, wherein Q is R^{6a}, wherein R^{6a} is C₁₋₆alkyl substituted with Ar² or hydroxy, or C₁₋₆alkyl substituted with two hydroxy radicals, or C₁₋₆alkyl substituted with diC₁₋₆alkyl-dioxolanyl, pyrrolidinyl, piperidinyl, piperazinyl, 4-C₁₋₆alkyl-piperazinyl.
- 20 25. A compound according to any of claims 1 - 18, wherein Q is pyrrolidinyl substituted with R⁶, piperidinyl substituted with R⁶ or homopiperidinyl substituted with R⁶; wherein R⁶ is hydrogen or C₁₋₆alkyl optionally substituted with one or with two substituents, each independently selected from the group consisting of trifluoromethyl, NR^{7a}R^{7b}, Ar², hydroxy, C₁₋₄alkoxy, Ar²(CH₂)_noxy, hydroxycarbonyl, aminocarbonyl, C₁₋₄alkylcarbonyl, C₁₋₄alkoxycarbonyl, Ar²(CH₂)_ncarbonyl, aminocarbonyloxy, C₁₋₄alkylcarbonyloxy, Ar²carbonyloxy, mono- or di(C₁₋₄alkyl)aminocarbonyl, aminosulfonyl, mono- or di(C₁₋₄alkyl)aminosulfonyl or a heterocycle selected from the group consisting of pyrrolidinyl, imidazolyl, piperidinyl, homopiperidinyl, piperazinyl, dioxolanyl, dioxanyl and pyridyl, wherein each of said heterocycle may optionally be substituted with with one or two radicals selected from oxo and C₁₋₆alkyl.
- 30 35. A compound according to any of claims 1 - 18, wherein Q is pyrrolidinyl substituted with R⁶, piperidinyl substituted with R⁶ or homopiperidinyl substituted with R⁶; wherein R⁶ is hydrogen or C₁₋₆alkyl optionally substituted with NR^{7a}R^{7b}, Ar², hydroxy, hydroxycarbonyl, aminocarbonyl, aminosulfonyl or C₁₋₆alkyl

-90-

substituted with two hydroxy radicals, or C₁₋₆alkyl substituted with a heterocycle selected from dioxolanyl, pyrrolidinyl, piperidinyl, homopiperidinyl, piperazinyl, wherein each of said heterocycle may optionally be substituted with oxo or with one or two C₁₋₆alkyl radicals.

5

23. A compound according to any of claims 1 - 18, wherein Q is pyrrolidinyl substituted with R⁶, piperidinyl substituted with R⁶ or homopiperidinyl substituted with R⁶; wherein R⁶ is hydrogen or C₁₋₆alkyl substituted with Ar² or C₁₋₆alkyl substituted with piperidinyl or with piperazinyl.
- 10 24. A compound according to any of claims 21 - 23, wherein Q is piperidinyl substituted with R⁶.
- 15 25. A compound according to any of claims 1 - 24, wherein R^{8a} is Ar³, C₁₋₆alkyl, hydroxyC₁₋₆alkyl, C₁₋₆alkoxyC₁₋₆alkyl, cyanoC₁₋₆alkyl, aminoC₁₋₆alkyl, mono-or di(C₁₋₆alkyl)aminoC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl, aminocarbonyl-C₁₋₆alkyl, carboxyl-C₁₋₆alkyl; and R^{8b} is Ar³.
- 20 26. A compound according to any of claims 1 - 24, wherein R^{8a} is C₁₋₆alkyl, hydroxyC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl, aminocarbonyl-C₁₋₆alkyl; and R^{8b} is C₁₋₆alkyl, hydroxyC₁₋₆alkyl, Ar³C₁₋₆alkyl, Het-C₁₋₆alkyl.
- 25 27. A compound according to any of claims 1 - 26, wherein Ar³ is phenyl optionally substituted with one, two or three substituents selected from halo, hydroxy, mercapto, amino, cyano, C₁₋₆alkyl, C₂₋₆alkenyl, C₂₋₆alkynyl, Ar¹, hydroxy-C₁₋₆alkyl, CF₃, aminoC₁₋₆alkyl, cyanoC₁₋₆alkyl, aminocarbonyl, C₁₋₆alkyloxy, C₁₋₆alkylthio, Ar¹-oxy, Ar¹-thio, Ar¹-amino, aminosulfonyl, aminocarbonyl-C₁₋₆alkyl, hydroxycarbonyl-C₁₋₆alkyl, hydroxycarbonyl, C₁₋₄alkylcarbonyl, C₁₋₄alkylcarbonylamino or C₁₋₄alkoxycarbonyl.
- 30 28. A compound according to any of claims 1 - 27, wherein Ar³ is phenyl substituted with one, two or three substituents selected from halo, C₁₋₆alkyl or hydroxyC₁₋₆alkyl.
- 35 29. A compound as claimed in any one of claims 1 to 28 for use as a medicine.

-91-

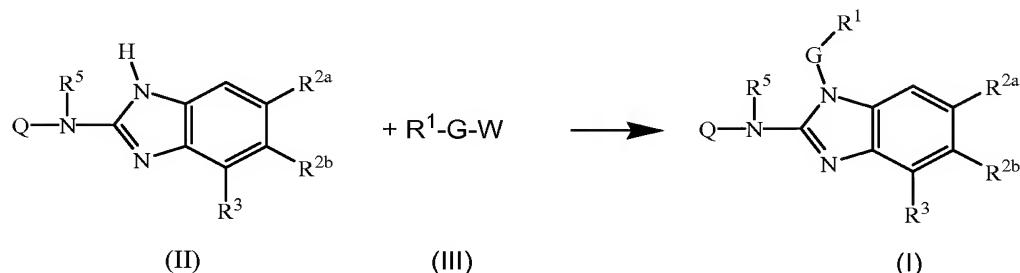
30. A pharmaceutical composition comprising a pharmaceutically acceptable carrier, and as active ingredient a therapeutically effective amount of a compound as claimed in any one of claims 1 to 23.

5 31. A process for preparing a pharmaceutical composition as claimed in claim 25, said process comprising intimately mixing a pharmaceutically acceptable carrier with a therapeutically effective amount of a compound as claimed in any one of claims 1 to 23.

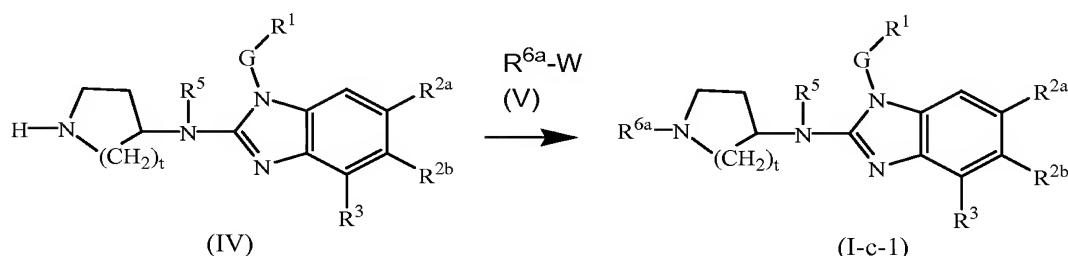
10 32. The use of a compound as claimed in any of claims 1 to 23 for the manufacture of a medicament for inhibiting RSV replication.

33. A process for preparing a compound as claimed in any of claims 1 to 23, said process comprising

15 (a) reacting an intermediate of formula (II) with a reagent (III) as in the following reaction scheme:



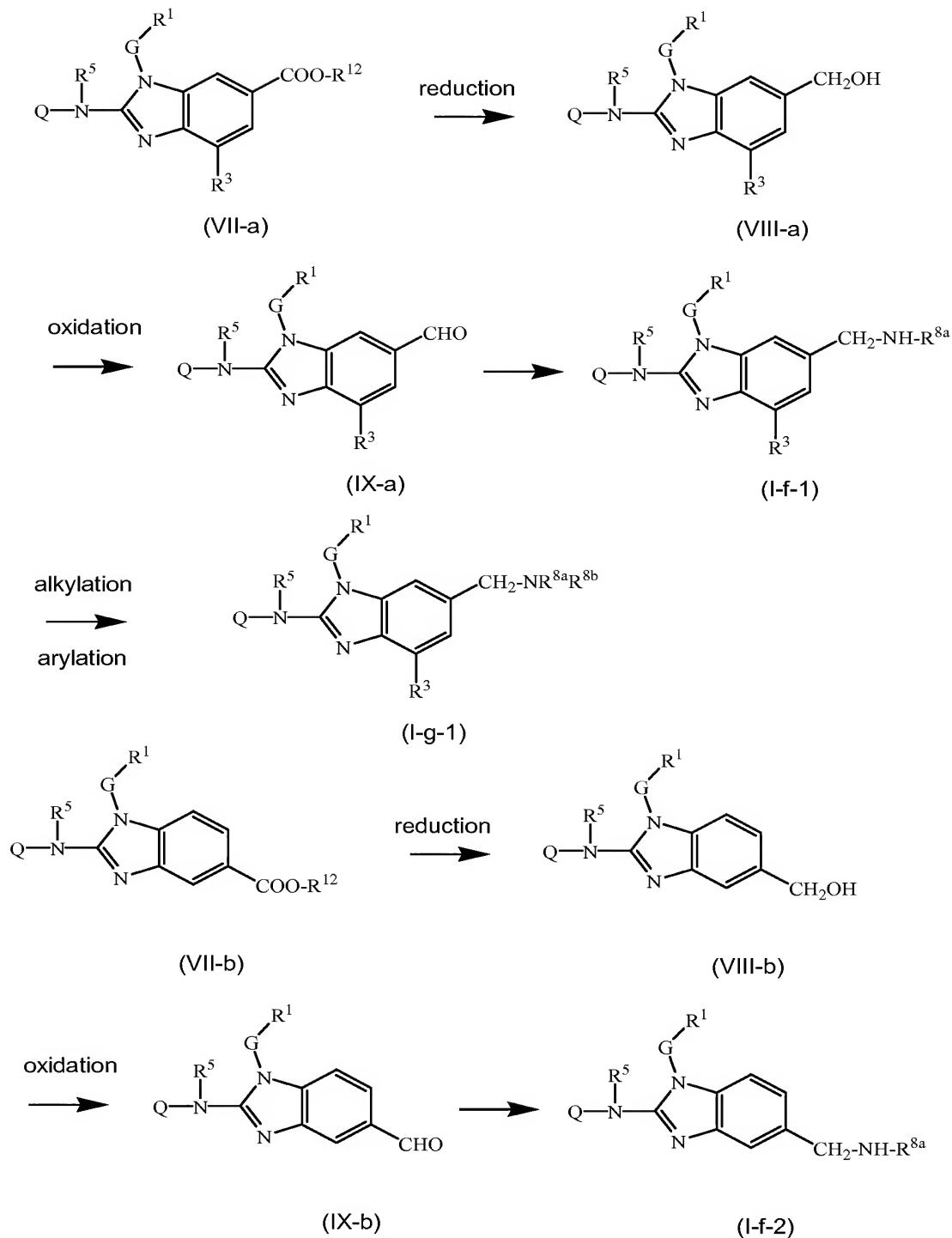
20 (b) reacting an intermediate of formula (IV) with a reagent (V) thus obtaining a compound of formula (I-c-1) as in the following reaction scheme:



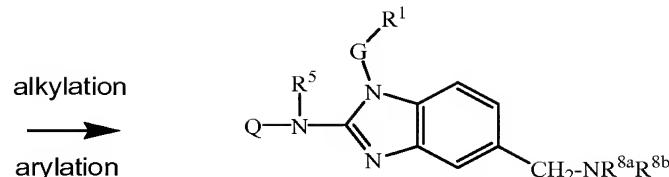
25 (c) reducing an intermediate (VII-a) or (VII-b) to obtain an intermediate (VIII-a) or (VIII-b) and subsequently oxidizing the alcohol group in (VIII-a) or (VIII-b) with a mild oxidant to obtain an intermediate (IX-a) or (IX-b) and subsequently alkylating (IX-a) or (IX-b) to obtain (I-f-1) or (I-f-2), which is further alkylated to obtain (I-g-1) or (I-g-2) as in the following reaction

-92-

schemes:

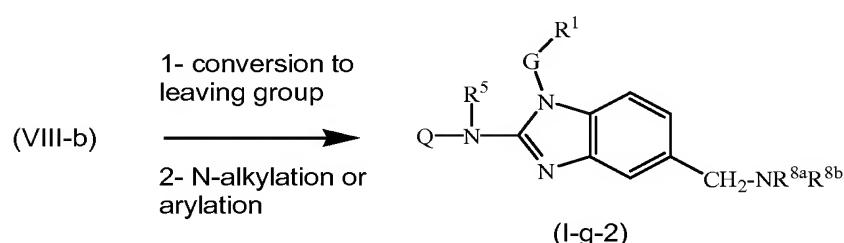
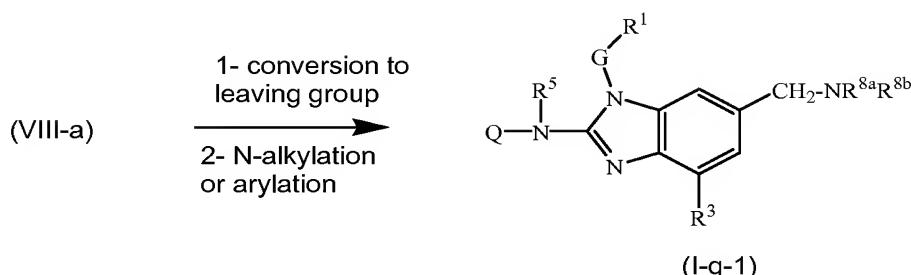


-93-

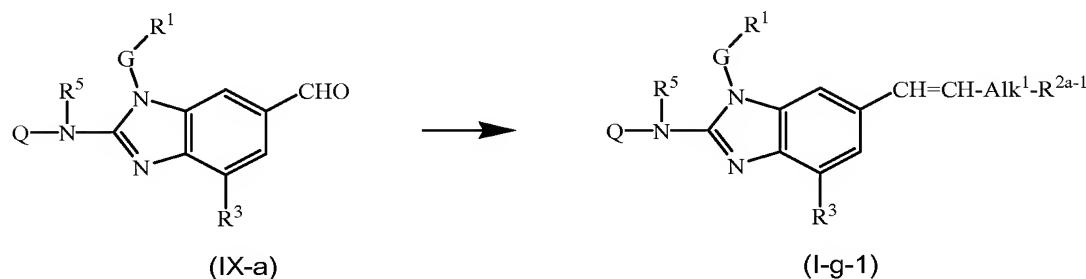


(I-g-2)

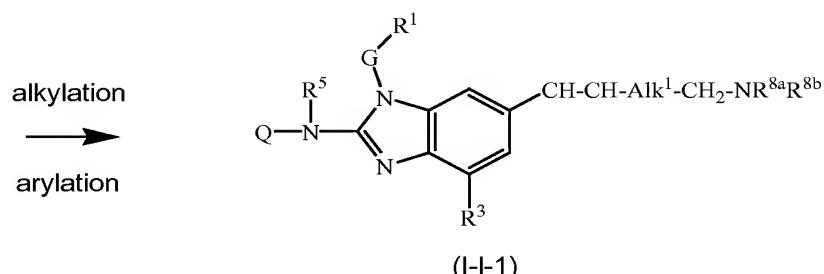
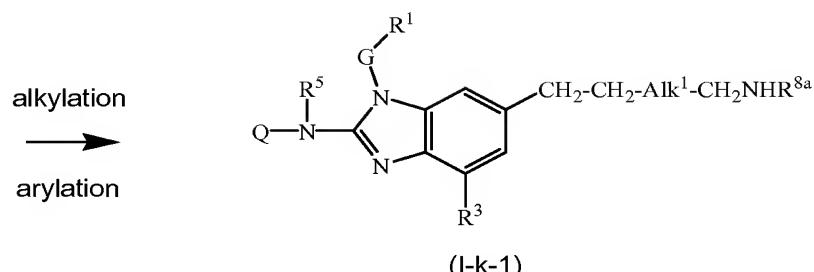
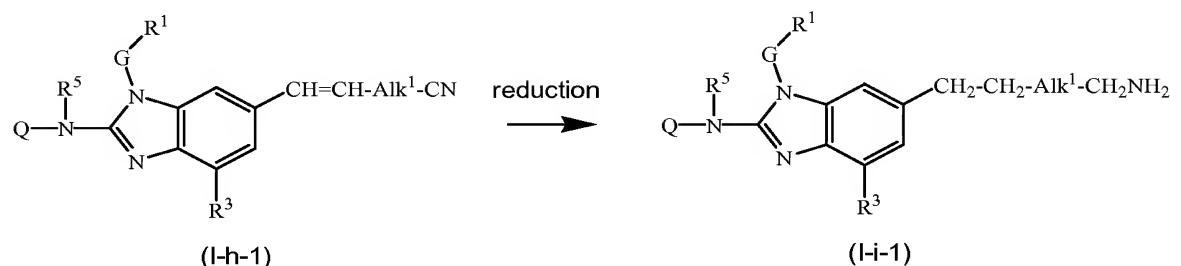
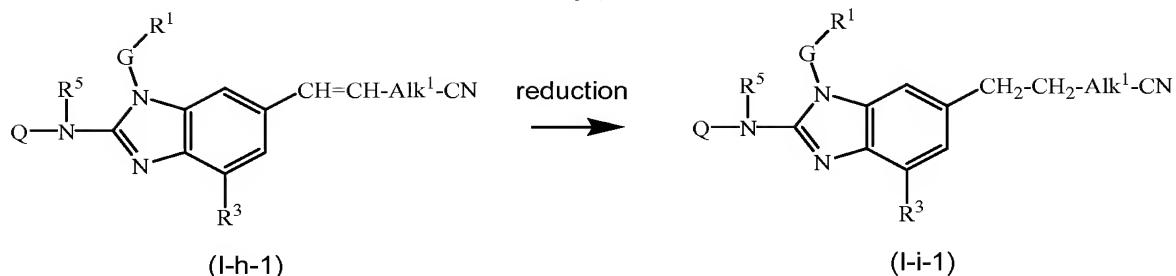
(d) converting the alcohol group in (VIII-a) or (VIII-b) to a leaving group and subsequently reacting the thus obtained products with an amine thus obtaining
5 (I-g-1) or (I-g-2)



10 (e) converting an intermediate (IX-a) or (IX-b) to a compound (I-g-1) or (I-g-2) using a Wittig or Wittig-Horner procedure; selectively reducing the double bond in (I-g-1) or (I-g-2) thus obtaining compounds (I-i-1) or (I-i-2); reducing the cyano group in (I-i-1) or (I-i-2) to a methyleneamine group thus obtaining (I-j-1) or (I-j-2); mono- or dialkylating the latter thus obtaining compounds (I-k-1) or (I-k-2); (I-l-1) or (I-l-2):
15

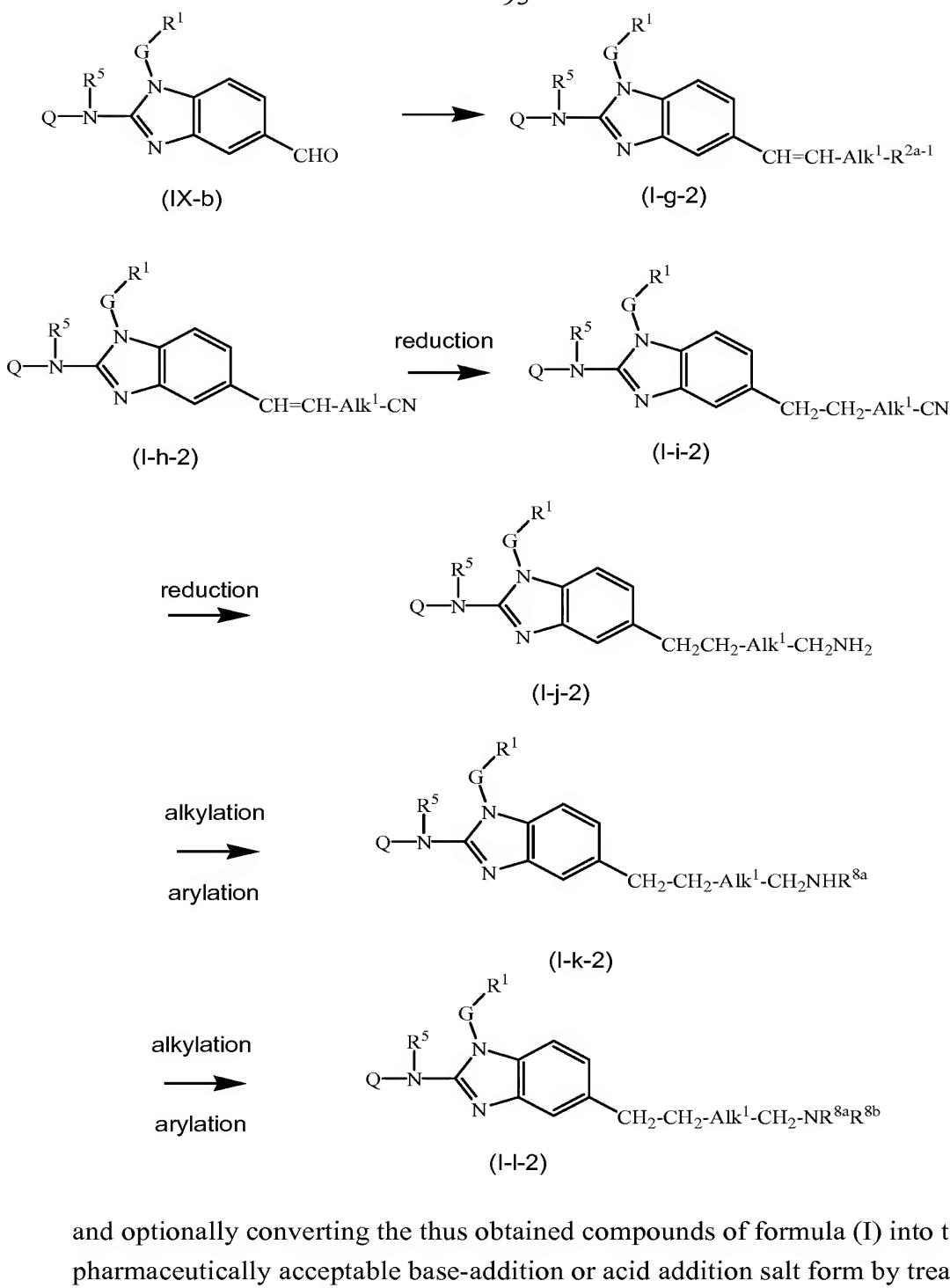


-94-



5

-95-



5

and optionally converting the thus obtained compounds of formula (I) into their pharmaceutically acceptable base-addition or acid addition salt form by treatment with a suitable base or acid and conversely treating the base-addition or acid addition salt form with an acid or a base to obtain the free form of the compound of formula (I).

10

-96-

34. A compound of formula (VII-a), (VII-b), (VIII-a), (VIII-b), (IX-a),
(IX-b), (I-f-1), (I-f-2), (I-g-1) or (I-g-2) said formula being as in claim 33,
wherein G, R¹, R^{2a}, R^{2b}, R³, R⁵, R^{8a}, R^{8b}, R¹² are as claimed in claim 1, and
wherein Q is pyrrolidinyl, piperidinyl or homopiperidinyl, substituted on their
5 nitrogen with a radical R⁶ which is C₁₋₆alkyl optionally substituted with one or
two, substituents each independently selected from the group consisting of
trifluoromethyl, C₃₋₇cycloalkyl, Ar², hydroxy, C₁₋₄alkoxy, C₁₋₄alkylthio, Ar²-oxy-,
Ar²-thio-, Ar²(CH₂)_noxy, Ar²(CH₂)_nthio, hydroxycarbonyl, aminocarbonyl,
10 C₁₋₄alkylcarbonyl, Ar²carbonyl, C₁₋₄alkoxycarbonyl, Ar²(CH₂)_ncarbonyl, amino-
carbonyloxy, C₁₋₄alkylcarbonyloxy, Ar²carbonyloxy, Ar²(CH₂)_ncarbonyloxy,
C₁₋₄alkoxycarbonyl(CH₂)_noxy, mono- or di(C₁₋₄alkyl)aminocarbonyl, mono- or
di(C₁₋₄alkyl)aminocarbonyloxy, aminosulfonyl, mono- or di(C₁₋₄alkyl)amino-
sulfonyl or a heterocycle selected from the group consisting of pyrrolidinyl,
15 pyrrolyl, dihydropyrrolyl, imidazolyl, triazolyl, piperidinyl, homopiperidinyl,
piperazinyl, dioxolanyl, dioxanyl, pyridyl and tetrahydropyridyl, wherein each of
said heterocycle may optionally be substituted with one or two substituents
selected from oxo or C₁₋₆alkyl; and wherein said R⁶ can be represented by R^{6b}, as
well as the pharmaceutically acceptable salt forms thereof, and the possible
stereoisomeric forms thereof.

20 35. A compound according to claim 34 wherein R^{6b} is C₁₋₆alkyl optionally substituted
with Ar², hydroxy, aminocarbonyl, aminosulfonyl, or C₁₋₆alkyl substituted with
two hydroxy radicals, or C₁₋₆alkyl substituted with pyrrolidinyl, piperidinyl,
piperazinyl, 4-C₁₋₆alkyl-piperazinyl.

25 36. A compound according to claim 34 wherein R^{6b} is C₁₋₆alkyl.

37. A compound formula (VII-a), (VII-b), (VIII-a), (VIII-b), (IX-a),
(IX-b), (I-f-1), (I-f-2), (I-g-1) or (I-g-2) said formula being as in claim 33,
30 wherein G, R¹, R^{2a}, R^{2b}, R³, R⁵, R^{8a}, R^{8b} and R¹² are as claimed in claim 1 and
wherein Q is R^{6b} wherein R^{6b} is as claimed in claim 1.

35 38. A compound according to claim 37 wherein R^{6b} is C₁₋₆alkyl optionally substituted
with Ar², hydroxy, aminocarbonyl, aminosulfonyl, or C₁₋₆alkyl substituted with
two hydroxy radicals, or C₁₋₆alkyl substituted with pyrrolidinyl, piperidinyl,
piperazinyl, 4-C₁₋₆alkyl-piperazinyl.

-97-

39. A compound according to claim 37 wherein R^{6b} is C₁₋₆alkyl.